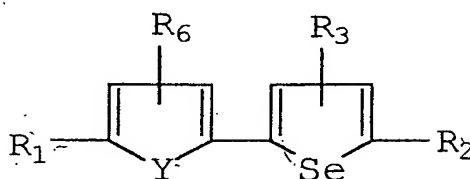


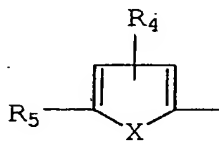
- 94 -

## CLAIMS:

1. A compound of formula I:



wherein  $R_1$  and  $R_2$  are independently selected from the group consisting of

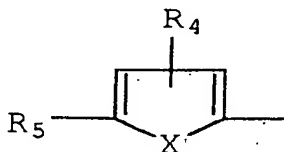


- 15 H,  $\text{CH}_2\text{OH}$ ,  $\text{CHO}$  and  $\text{CH}_2\text{NH}_2$ ;

X and Y are independently selected from the group consisting of Se, S, O, and NR, wherein R is H or  $\text{C}_1\text{-C}_7$  alkyl;

$R_3$ ,  $R_4$ ,  $R_5$  and  $R_6$  are independently selected from the group consisting of H,  $\text{CHO}$ ,  $\text{CH}_2\text{OH}$  and  $\text{CH}_2\text{NH}_2$ ;

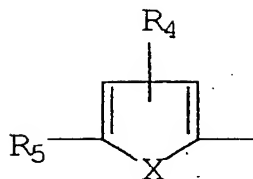
- 20 cyclodextrin complexes of such compounds; and when  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$  or  $R_6$  is  $\text{CH}_2\text{NH}_2$ , the pharmaceutically acceptable salt of the compound represented thereby; with the provisos, that  $R_1$  and  $R_2$  are not both



and when  $R_1$  and  $R_2$  are both H,  $R_6$  and  $R_3$  are not both H; and when  $R_2$  is

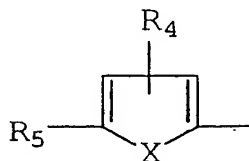
30 BEST AVAILABLE COPY

- 95 -



5

one of  $R_1$ ,  $R_3$ ,  $R_4$ ,  $R_5$  and  $R_6$  is other than H, and when  $R_1$  is



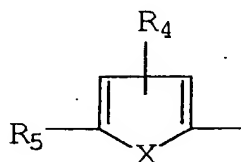
10

one of  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$  and  $R_6$  is other than H.

2. The compound of claim 1, wherein  $R_3$ ,  $R_4$  and  $R_6$  are H.

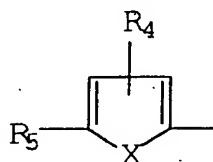
15

3. The compound of claim 2 wherein  $R_2$  is selected from the group consisting of H,  $\text{CH}_2\text{OH}$ ,  $\text{CHO}$  and  $\text{CH}_2\text{NH}_2$  and  $R_1$  is



20

4. The compound of claim 2 wherein  $R_1$  is selected from the group consisting of H,  $\text{CH}_2\text{OH}$ ,  $\text{CHO}$  and  $\text{CH}_2\text{NH}_2$  and  $R_2$  is



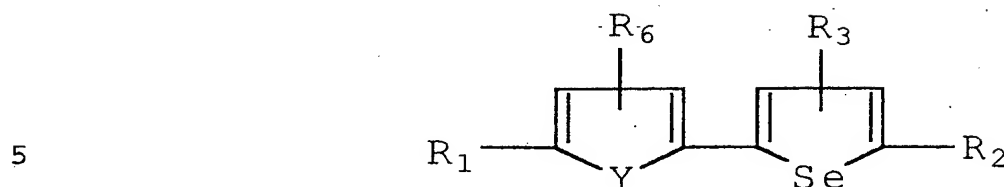
25

5. The compound of claim 3 or 4 wherein X is Se.

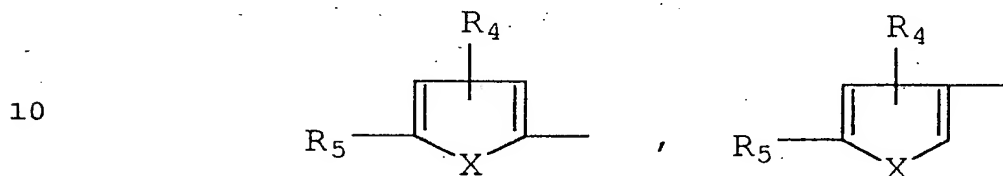
**BEST AVAILABLE COPY**

- 96 -

6. A compound of formula I:



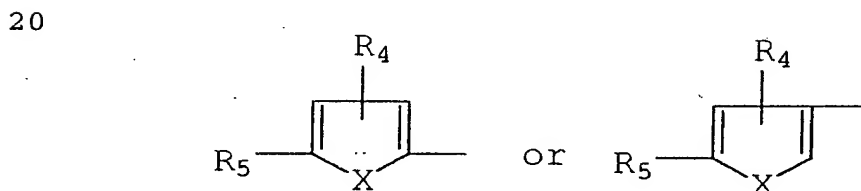
wherein  $R_1$  and  $R_2$  are independently selected from the group consisting of



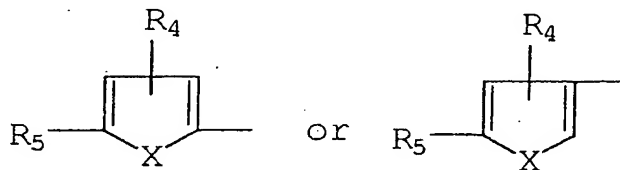
H, CHO,  $\text{CH}_2\text{OH}$  and  $\text{CH}_2\text{NH}_2$ ;

15 X and Y are independently selected from the group consisting of Se, S, O and NR, wherein R is H or  $\text{C}_1\text{-C}_7$  alkyl;  $R_3$ ,  $R_4$ ,  $R_5$  and  $R_6$  are independently selected from the group consisting of H, CHO,  $\text{CH}_2\text{OH}$  and  $\text{CH}_2\text{NH}_2$ ;

cyclodextrin complexes of such compounds; and when  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$  or  $R_6$  is  $\text{CH}_2\text{NH}_2$ , the pharmaceutically acceptable salt of the compound represented thereby; with the proviso that  $R_1$  and  $R_2$  are not both hydrogen, and when  $R_2$  is



25  $R_1$  is H, CHO,  $\text{CH}_2\text{OH}$  or  $\text{CH}_2\text{NH}_2$ , provided that at least one of  $R_1$ ,  $R_3$ ,  $R_4$ ,  $R_5$  and  $R_6$  is other than H; and when  $R_1$  is

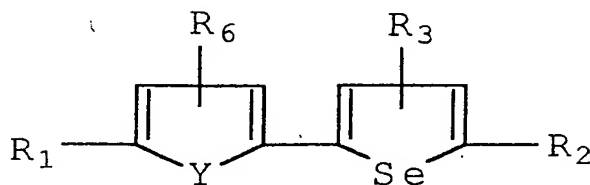


BEST AVAILABLE COPY

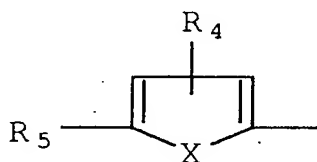
- 97 -

$R_2$  is H, CHO,  $\text{CH}_2\text{OH}$  or  $\text{CH}_2\text{NH}_2$ , provided that at least one of  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$  and  $R_6$  is other than H.

7. A composition comprising an anti-tumor effective amount of a compound of formula I:



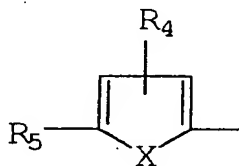
wherein  $R_1$  and  $R_2$  are independently selected from the group consisting of,



H,  $\text{CH}_2\text{OH}$ , CHO and  $\text{CH}_2\text{NH}_2$ ;

15 X and Y are independently selected from the group consisting of Se, S, O and  $\text{NR}$ , wherein R is H or  $\text{C}_1\text{-C}_7$  alkyl;

$R_3$ ,  $R_4$ ,  $R_5$  and  $R_6$  are independently selected from the group consisting of H, CHO,  $\text{CH}_2\text{OH}$  and  $\text{CH}_2\text{NH}_2$ ; cyclodextrin complexes of such compounds; and when  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$  or  $R_6$  is  $\text{CH}_2\text{NH}_2$ , the pharmaceutically acceptable salt of the compound  
20 represented thereby; with the proviso, that  $R_1$  and  $R_2$  are not both



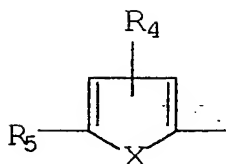
and at least one of  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$  or  $R_6$  is other than hydrogen;  
and a pharmaceutically acceptable carrier.

8. The compound of claim 7, wherein  $R_3$ ,  $R_4$  and  $R_6$  are H.

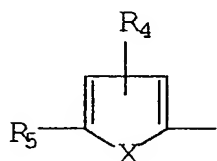
9. The compound of claim 8 wherein  $R_2$  is selected from the group consisting  
30 of H,  $\text{CH}_2\text{OH}$ , CHO and  $\text{CH}_2\text{NH}_2$  and  $R_1$  is

BEST AVAILABLE COPY

- 98 -

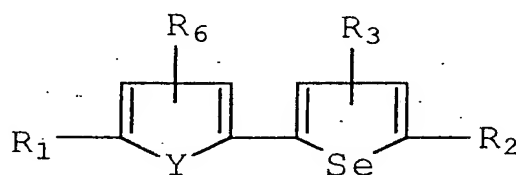


- 5            10. The compound of claim 8 wherein R<sub>1</sub> is selected from the group consisting of H, CH<sub>2</sub>OH, CHO and CH<sub>2</sub>NH<sub>2</sub> and R<sub>2</sub> is



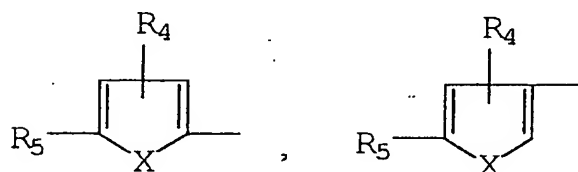
10

11. The compound of claim 9 or 10 wherein X is Se.  
12. The use of a compound of the formula I:



15

wherein R<sub>1</sub> and R<sub>2</sub> are independently selected from the group consisting of;



20

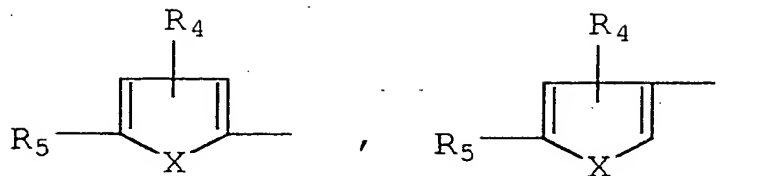
H, CHO, CH<sub>2</sub>OH and CH<sub>2</sub>NH<sub>2</sub>;

- 25            X and Y are independently selected from the group consisting of Se, S, O and NR, wherein R is H or C<sub>1</sub>-C<sub>7</sub> alkyl; R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are independently selected from the group consisting of H, CHO, CH<sub>2</sub>OH and CH<sub>2</sub>NH<sub>2</sub>; cyclodextrin complexes of such compounds; and when R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> or R<sub>6</sub> is CH<sub>2</sub>NH<sub>2</sub>, the pharmaceutically acceptable salt of the compound represented thereby; with the proviso, that R<sub>1</sub> and R<sub>2</sub> are not both

30

**BEST AVAILABLE COPY**

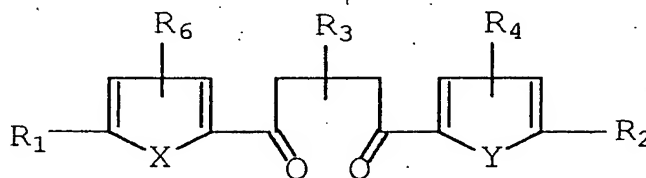
- 99 -



5

to manufacture a pharmaceutical composition useful for treating a patient having a tumor.

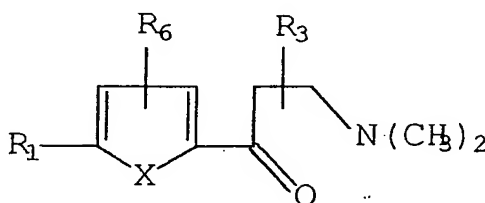
13. A method of preparing an intermediate compound of the formula



10

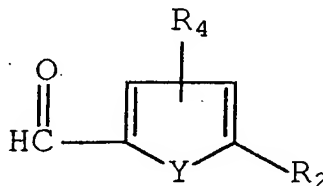
wherin X and Y are selected from the group consisting of O, Se, S and NR, wherein R is H or C<sub>1</sub>-C<sub>7</sub> alkyl; and

15 R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>6</sub> are independently selected from the group consisting of H, CHO, CH<sub>2</sub>OH and CH<sub>2</sub>NH<sub>2</sub>, said method comprising the step of reacting a compound of the formula



20

with a compound of the formula



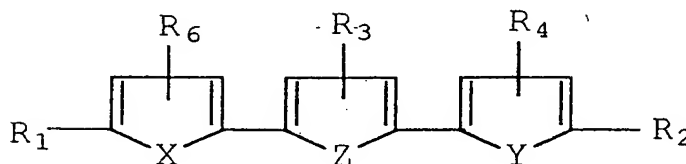
25

in the presence of sodium cyanide and in dimethyl formamide.

BEST AVAILABLE COPY

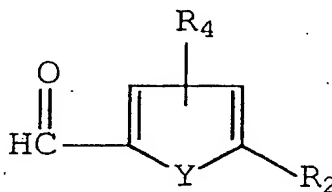
-100-

14. A method of preparing a compound of the formula

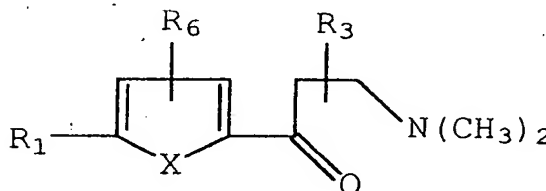


wherein X, Y and Z are selected from the group consisting of O, Se, S and NR, wherein R is H or C<sub>1</sub>-C<sub>7</sub> alkyl; and

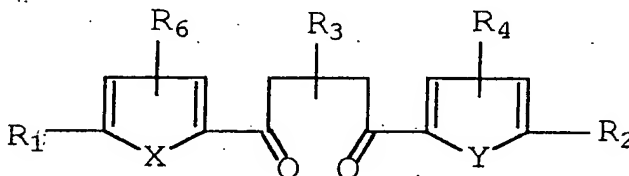
R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>6</sub> are independently selected from the group consisting of H, CHO, CH<sub>2</sub>OH and CH<sub>2</sub>NH<sub>2</sub>, said method comprising the steps of reacting a compound of



with a compound of the formula



in the presence of sodium cyanide and DMF to form an intermediate having the formula



and when Z is NR, reacting the intermediate with RNH<sub>2</sub>Cl in the presence of NaOAc;  
when Z is O, reacting the intermediate with (CH<sub>3</sub>CO)<sub>2</sub>O in the presence of HCl; and  
when Z is S or Se, reacting the intermediate with [(C<sub>6</sub>H<sub>11</sub>)<sub>3</sub>Sn]<sub>2</sub>Z in the presence of BCl<sub>3</sub>.

BEST AVAILABLE COPY